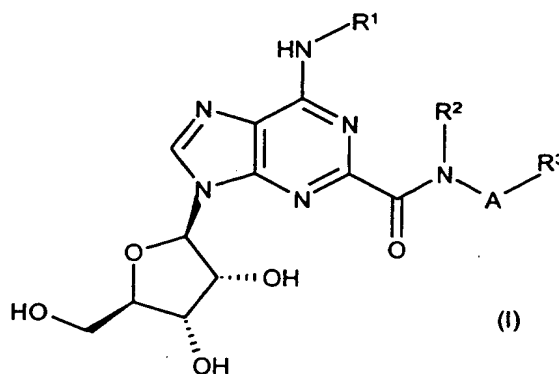


CLAIMS

1. A compound of the formula:



5

or a pharmaceutically acceptable salt or solvate thereof,

10 wherein  $R^1$  is hydrogen or  $C_1$ - $C_6$  alkyl optionally substituted by 1 or 2 substituents each independently selected from phenyl and naphthyl, said phenyl and naphthyl being optionally substituted by  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, halo or cyano;

$R^2$  is H or  $C_1$ - $C_6$  alkyl;

15 A is  $C_1$ - $C_6$  alkylene;

$R^3$  is (i) hydrogen,  $C_1$ - $C_6$  alkyl,  $-\text{COOR}^4$ ,  $-\text{CN}$ ,  $-\text{CONR}^4\text{R}^4$ ,  $C_3$ - $C_8$  cycloalkyl, phenyl or naphthyl, said  $C_3$ - $C_8$  cycloalkyl, phenyl and naphthyl being optionally substituted by  $C_1$ - $C_6$  alkyl, phenyl,  $C_1$ - $C_6$  alkoxy( $C_1$ - $C_6$ )alkyl,  $\text{R}^4\text{R}^4\text{N}(\text{C}_1\text{-C}_6)\text{alkyl}$ , halo( $C_1$ - $C_6$ )alkyl, fluoro( $C_1$ - $C_6$ )alkoxy,  $C_2$ - $C_5$  alkanoyl, halo,  $-\text{OR}^4$ , cyano, -  
20  $\text{COOR}^4$ ,  $C_3$ - $C_8$  cycloalkyl,  $-\text{S}(\text{O})_m\text{R}^5$ ,  $-\text{NR}^4\text{R}^4$ ,  $-\text{SO}_2\text{NR}^4\text{R}^4$ ,  $-\text{CONR}^4\text{R}^4$ ,  $-\text{NR}^4\text{COR}^5$  or  $-\text{NR}^4\text{SO}_2\text{R}^5$ ,

or (ii) when A is  $C_2$ - $C_8$  alkylene,  $-\text{NR}^4\text{R}^4$ ,  $-\text{OR}^4$ ,  $-\text{OCOR}^5$ ,  $-\text{SO}_2\text{R}^5$ ,  $-\text{SO}_2\text{NR}^4\text{R}^4$  or  $-\text{NR}^4\text{COR}^5$ ,

or (iii) a C-linked, 4- to 11-membered ring, mono- or bicyclic, heterocycle  
25 having either from 1 to 4 ring nitrogen atom(s), or 1 or 2 nitrogen and 1 oxygen or 1 sulphur ring atoms, being optionally C-substituted by oxo,  $C_1$ - $C_6$  alkoxy( $C_1$ -

- C<sub>6</sub>)alkyl, R<sup>6</sup>R<sup>6</sup>N(C<sub>1</sub>-C<sub>6</sub>)alkyl, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, fluoro(C<sub>1</sub>-C<sub>6</sub>)alkoxy, fluoro(C<sub>2</sub>-C<sub>5</sub>)alkanoyl, halo, cyano, -OR<sup>6</sup>, R<sup>7</sup>, -COR<sup>6</sup>, -NR<sup>6</sup>R<sup>6</sup>, -COOR<sup>6</sup>, -S(O)<sub>m</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>6</sup>, -CONR<sup>6</sup>R<sup>6</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>7</sup> or -NR<sup>6</sup>COR<sup>7</sup> and optionally N-substituted by C<sub>1</sub>-C<sub>6</sub> alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>6</sup>R<sup>6</sup>N(C<sub>2</sub>-C<sub>6</sub>)alkyl, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, fluoro(C<sub>2</sub>-C<sub>5</sub>)alkanoyl, R<sup>7</sup>, -COR<sup>6</sup>, -COOR<sup>7</sup>, -SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>6</sup> or -CONR<sup>6</sup>R<sup>6</sup>,
- 5 or (iv) when A is C<sub>2</sub>-C<sub>6</sub> alkylene, N-linked azetidiny, pyrrolidiny, piperidiny, piperaziny, homopiperaziny or morpholiny, each being optionally C-substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, C<sub>1</sub>-C<sub>6</sub> alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>4</sup>R<sup>4</sup>N(C<sub>1</sub>-C<sub>6</sub>)alkyl, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, fluoro(C<sub>1</sub>-C<sub>6</sub>)alkoxy, C<sub>2</sub>-C<sub>5</sub> alkanoyl, halo, -OR<sup>4</sup>, cyano, -COOR<sup>4</sup>, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -S(O)<sub>m</sub>R<sup>5</sup>, -NR<sup>4</sup>R<sup>4</sup>, -SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup>, -CONR<sup>4</sup>R<sup>4</sup>, -NR<sup>4</sup>COR<sup>5</sup> or -NR<sup>4</sup>SO<sub>2</sub>R<sup>5</sup>, and said piperaziny and homopiperaziny being optionally N-substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, C<sub>1</sub>-C<sub>6</sub> alkoxy(C<sub>2</sub>-C<sub>6</sub>)alkyl, R<sup>4</sup>R<sup>4</sup>N(C<sub>2</sub>-C<sub>6</sub>)alkyl, fluoro(C<sub>1</sub>-C<sub>6</sub>)alkyl, C<sub>2</sub>-C<sub>5</sub> alkanoyl, -COOR<sup>5</sup>, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, -SO<sub>2</sub>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>4</sup>R<sup>4</sup> or -CONR<sup>4</sup>R<sup>4</sup>; R<sup>4</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or phenyl;
- 10 R<sup>5</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or phenyl;  
R<sup>6</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, phenyl, naphthyl or het;  
R<sup>7</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, phenyl, naphthyl or het;  
m is 0, 1 or 2; and  
"het", used in the definitions of R<sup>6</sup> and R<sup>7</sup>, means C-linked pyrrolyl, imidazolyl, triazolyl, thienyl, furyl, thiazolyl, oxazolyl, thiadiazolyl, oxadiazolyl, pyridiny, pyrimidiny, pyridaziny, pyraziny, indolyl, isoindolyl, quinoliny, isoquinoliny, benzimidazolyl, quinazoliny, phthalaziny, benzoxazolyl or quinoxaliny, each being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, cyano or halo.
- 20
- 25 2. A compound as claimed in claim 1 wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted by 1 or 2 phenyl substituents.
3. A compound as claimed in claim 2 wherein R<sup>1</sup> is 2,2-diphenylethyl.

30 ~~4. A compound as claimed in any one of the preceding claims wherein R<sup>2</sup> is H.~~

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~~5. A compound as claimed in any one of the preceding claims wherein A is C<sub>1</sub>-C<sub>4</sub> alkylene.~~

6. A compound as claimed in claim 5 wherein A is methylene, 1,2-ethylene or  
5 1,3-propylene.

7. A compound as claimed in claim 6 wherein A is 1,2-ethylene.

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8. A compound as claimed in any one of the preceding claims wherein R<sup>3</sup> is phenyl optionally substituted as defined for this definition in claim 1; or, when A is C<sub>2</sub>-C<sub>6</sub> alkylene, R<sup>3</sup> is -NR<sup>4</sup>R<sup>4</sup> wherein R<sup>4</sup> is as defined in claim 1; or R<sup>3</sup> is a C-linked, 5- to 7-membered ring monocyclic heterocycle having either from 1 to 4 ring nitrogen atom(s) or 1 or 2 nitrogen and 1 oxygen or 1 sulphur ring atoms, optionally substituted as defined for this definition in claim 1; or, when A is C<sub>2</sub>-  
15 C<sub>6</sub> alkylene, R<sup>3</sup> is N-linked pyrrolidinyl, piperidinyl or morpholinyl, each being optionally C-substituted as defined for this definition in claim 1.

9. A compound as claimed in claim 8 wherein R<sup>3</sup> is phenyl; or, when A is C<sub>2</sub>-C<sub>6</sub> alkylene, R<sup>3</sup> is -NR<sup>4</sup>R<sup>4</sup> wherein R<sup>4</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl; or, R<sup>3</sup> is a C-linked, 5- or 6-  
20 membered ring monocyclic aromatic heterocycle having from 1 to 4 ring nitrogen atom(s), optionally substituted as defined for this definition in claim 1; or, when A is C<sub>2</sub>-C<sub>6</sub> alkylene, R<sup>3</sup> is N-linked pyrrolidinyl, piperidinyl or morpholinyl, each being optionally C-substituted by C<sub>1</sub>-C<sub>6</sub> alkyl or -OR<sup>4</sup> wherein R<sup>4</sup> is as previously defined in claim 1.

25  
10. A compound as claimed in claim 9 wherein R<sup>3</sup> is phenyl; or, when A is C<sub>2</sub>-C<sub>6</sub> alkylene, R<sup>3</sup> is -N(CH<sub>3</sub>)<sub>2</sub>; or R<sup>3</sup> is C-linked pyridinyl optionally substituted by -OR<sup>6</sup>, R<sup>7</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>6</sup>R<sup>6</sup>N(C<sub>1</sub>-C<sub>6</sub>)alkyl or -NR<sup>6</sup>R<sup>6</sup> wherein R<sup>6</sup> and R<sup>7</sup> are as previously defined in claim 1; or when A is C<sub>2</sub>-C<sub>6</sub> alkylene, R<sup>3</sup> is  
30 pyrrolidin-1-yl, piperidin-1-yl, 4-isopropylpiperidin-1-yl or morpholin-4-yl.

11. A compound as claimed in claim 10 wherein  $R^3$  is phenyl; or, when A is  $C_2-C_6$  alkylene,  $R^3$  is  $-N(CH_3)_2$ ; or  $R^3$  is 2-pyridinyl; or when A is  $C_2-C_6$  alkylene,  $R^3$  is pyrrolidin-1-yl, piperidin-1-yl, 4-isopropylpiperidin-1-yl or morpholin-4-yl.

5 12. A compound as claimed in claim 11 wherein, when A is  $C_2-C_6$  alkylene,  $R^3$  is piperidin-1-yl.

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10 ~~13. A compound as claimed in any one of claims 1 to 4 wherein -A- $R^3$  is phenethyl, 2-(dimethylamino)ethyl, 2-pyridinylmethyl, 2-(2-pyridinyl)ethyl, 3-(1-pyrrolidinyl)propyl, 2-(1-piperidinyl)ethyl, 2-(4-isopropyl-1-piperidinyl)ethyl or 2-(4-morpholinyl)ethyl.~~

14. A compound as claimed in claim 13 wherein -A- $R^3$  is 2-(1-piperidinyl)ethyl.

15 15. A compound as claimed in claim 1 which is selected from the group consisting of

9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-[2-(1-piperidinyl)ethyl]-9*H*-purine-2-carboxamide;

20 9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-phenethyl-9*H*-purine-2-carboxamide;

9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-[2-(4-isopropyl-1-piperidinyl)ethyl]-9*H*-purine-2-carboxamide;

25 9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-[3-(1-pyrrolidinyl)propyl]-9*H*-purine-2-carboxamide;

9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-[2-(4-morpholinyl)ethyl]-9*H*-purine-2-carboxamide;

30 9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-(2-pyridinylmethyl)-9*H*-purine-2-carboxamide;

- 9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-[2-(2-pyridinyl)ethyl]-9*H*-purine-2-carboxamide; and  
9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-*N*-[2-(dimethylamino)ethyl]-6-[(2,2-diphenylethyl)amino]-9*H*-purine-2-carboxamide:  
5 and the pharmaceutically acceptable salts and solvates thereof.

16. A compound as claimed in claim 1 which is 9-[(2*R*,3*R*,4*S*,5*R*)-3,4-dihydroxy-5-(hydroxymethyl)tetrahydro-2-furanyl]-6-[(2,2-diphenylethyl)amino]-*N*-[2-(1-piperidinyl)ethyl]-9*H*-purine-2-carboxamide, or a pharmaceutically  
10 acceptable salt or solvate thereof.

17. A compound as claimed in claim 1 wherein  
R<sup>1</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl substituted by 1 or 2 substituents each independently selected from phenyl and naphthyl;  
15 R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl;  
A is C<sub>1</sub>-C<sub>6</sub> alkylene; and  
R<sup>3</sup> is phenyl, naphthyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, azetidiny, pyrrolidinyl, piperidinyl, amino, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl) or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, said phenyl, naphthyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, azetidiny, pyrrolidinyl and piperidinyl being optionally substituted by  
20 one or more substituents each independently selected from C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, halo and cyano:  
with the proviso that when R<sup>3</sup> is N-linked, optionally substituted-azetidiny, -pyrrolidinyl or -piperidinyl, or is amino, -NH(C<sub>1</sub>-C<sub>6</sub> alkyl) or -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, A is C<sub>2</sub>-C<sub>6</sub> alkylene.

25

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~~18. A pharmaceutical composition including a compound of the formula (I) or a pharmaceutically acceptable salt or solvate thereof, as claimed in any one of the preceding claims, together with a pharmaceutically acceptable excipient, diluent or carrier.~~

19. A compound of the formula (I) or a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively, for use as a medicament.
- 5 20. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively, for the manufacture of a medicament having A2a receptor agonist activity.
- 10 21. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively, for the manufacture of an anti-inflammatory agent.
- 15 22. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively, for the manufacture of a medicament for the treatment of a respiratory disease.
- 20 23. Use as claimed in claim 22 where the disease is selected from the group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma, emphysema, bronchiectasis, chronic sinusitis and rhinitis.
- 25 24. The use of a compound of the formula (I) or of a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively, for the manufacture of a medicament for the treatment of septic shock, male erectile dysfunction, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion injury, diabetes, rheumatoid arthritis, multiple sclerosis, psoriasis,
- 30 dermatitis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel disease, *Helicobacter pylori* gastritis, non-*Helicobacter pylori*

gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastrointestinal tract or a psychotic disorder, or for wound healing.

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25 A method of treatment of a mammal, including a human being, with a A2a receptor agonist including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively.

10 26. A method of treatment of a mammal, including a human being, to treat an inflammatory disease including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively.

15 27. A method of treatment of a mammal, including a human being, to treat a respiratory disease including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively.

20 28. A method as claimed in claim 27 where the disease is selected from the group consisting of adult respiratory distress syndrome (ARDS), bronchitis, chronic bronchitis, chronic obstructive pulmonary disease, cystic fibrosis, asthma, emphysema, bronchiectasis, chronic sinusitis and rhinitis.

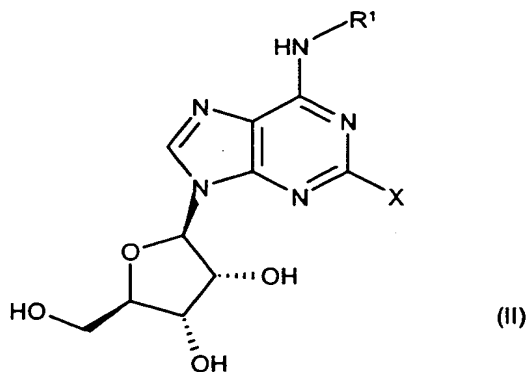
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30 29. A method of treatment of a mammal, including a human being, to treat septic shock, male erectile dysfunction, hypertension, stroke, epilepsy, cerebral ischaemia, peripheral vascular disease, post-ischaemic reperfusion injury, diabetes, rheumatoid arthritis, multiple sclerosis, psoriasis, dermatitis, allergic dermatitis, eczema, ulcerative colitis, Crohns disease, inflammatory bowel

disease, *Helicobacter pylori* gastritis, non-*Helicobacter pylori* gastritis, non-steroidal anti-inflammatory drug-induced damage to the gastro-intestinal tract or a psychotic disorder, or for wound healing, including treating said mammal with an effective amount of a compound of the formula (I) or with a pharmaceutically acceptable salt, solvate or composition thereof, as claimed in any one claims 1 to 17 and 18, respectively.

30. A process for the preparation of a compound of the formula (I), or a pharmaceutically acceptable salt thereof, as claimed in claim 1 comprising

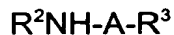
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a) aminocarbonylation reaction of a compound of the formula:



wherein R<sup>1</sup> is defined in claim 1 and X is a leaving group such as bromo, iodo, -Sn(C<sub>1</sub>-C<sub>12</sub> alkyl)<sub>3</sub> or CF<sub>3</sub>SO<sub>2</sub>O-, with a compound of the formula:

15



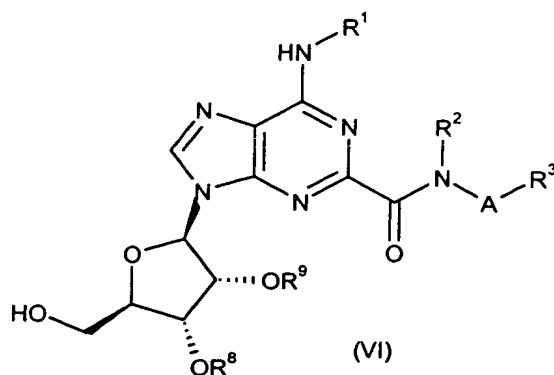
(III)

20

wherein A, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1, in the presence of carbon monoxide and a suitable coupling catalyst; or

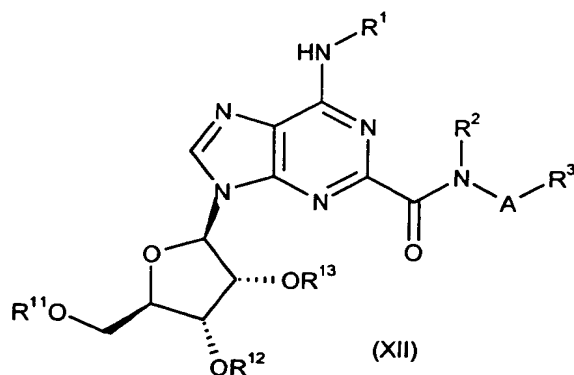
b) deprotection of a compound of the formula:

25



wherein A, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1 and R<sup>8</sup> and R<sup>9</sup>, when taken separately, are protecting groups, or, when taken together, are a protecting group; or

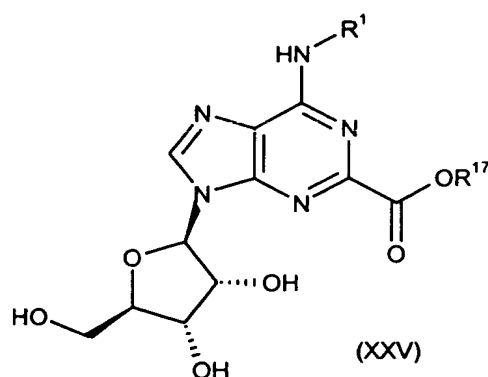
c) deprotection of a compound of the formula:



10

wherein A, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1 and R<sup>11</sup>, R<sup>12</sup> and R<sup>13</sup>, taken separately, are protecting groups, or R<sup>11</sup> is a protecting group and R<sup>12</sup> and R<sup>13</sup>, taken together, are a protecting group: or

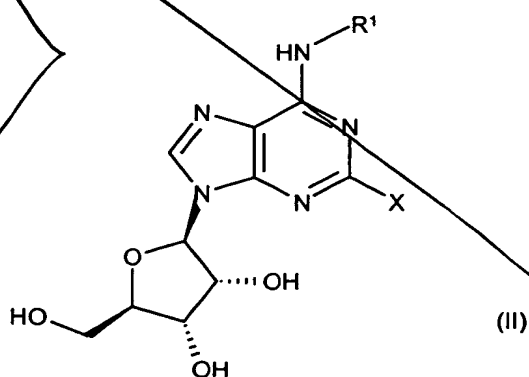
15 d) reaction of a compound of the formula:



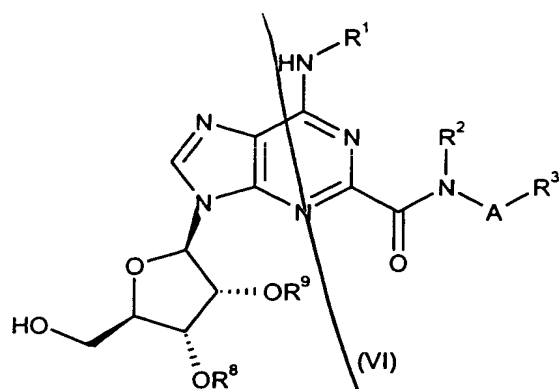
wherein R<sup>1</sup> is as defined in claim 1 and R<sup>17</sup> is H or an ester-forming group, with  
a compound of the formula (III) as defined in part (a), and, where R<sup>17</sup> is H, in the  
5 presence of a peptide coupling agent:

any one of said processes being optionally followed by conversion to a  
pharmaceutically acceptable salt thereof.

10 31. A compound of the formula:

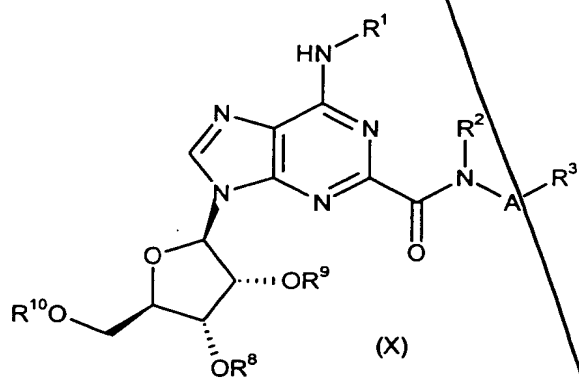


wherein X is a leaving group such as bromo, iodo, -Sn(C<sub>1</sub>-C<sub>12</sub> alkyl)<sub>3</sub> or  
15 CF<sub>3</sub>SO<sub>2</sub>O<sup>-</sup>; or



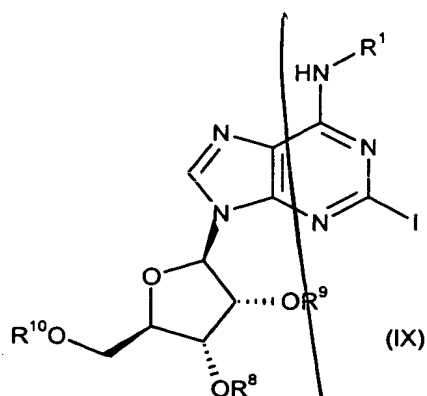
wherein  $R^8$  and  $R^9$ , when taken separately, are protecting groups, or, when taken together, are a protecting group; or

5

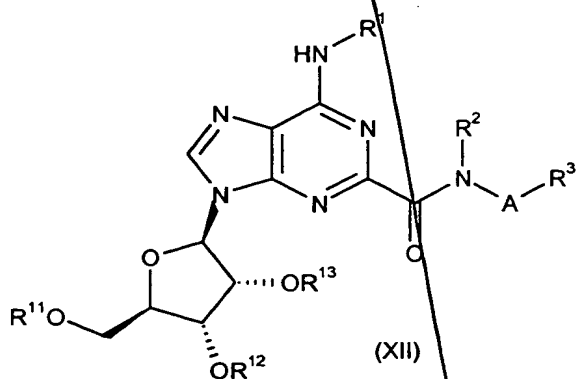


wherein  $R^8$  and  $R^9$ , when taken separately, are protecting groups, or, when taken together, are a protecting group, and  $R^{10}$  is a protecting group; or

10

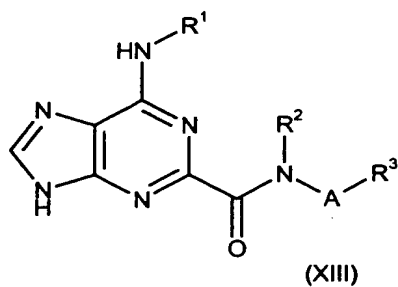


wherein R<sup>8</sup> and R<sup>9</sup>, when taken separately, are protecting groups, or, when taken together, are a protecting group, and R<sup>10</sup> is a protecting group; or



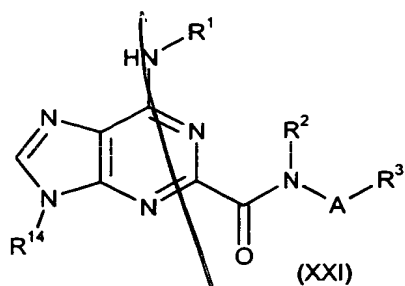
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wherein R<sup>11</sup>, R<sup>12</sup> and R<sup>13</sup>, taken separately, are protecting groups, or R<sup>11</sup> is a protecting group and R<sup>12</sup> and R<sup>13</sup>, taken together, are a protecting group; or

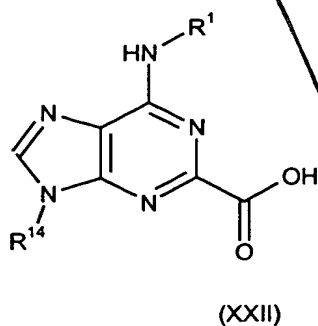


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; or



wherein R<sup>14</sup> is a protecting group; or

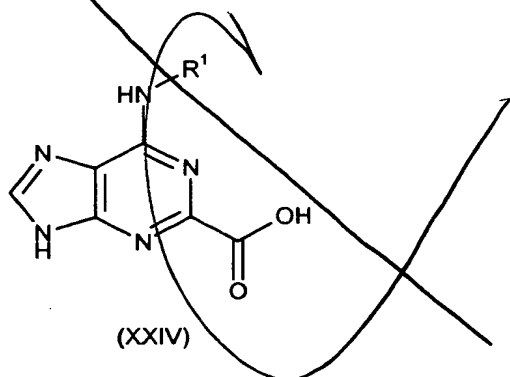


5 wherein R<sup>14</sup> is a protecting group:

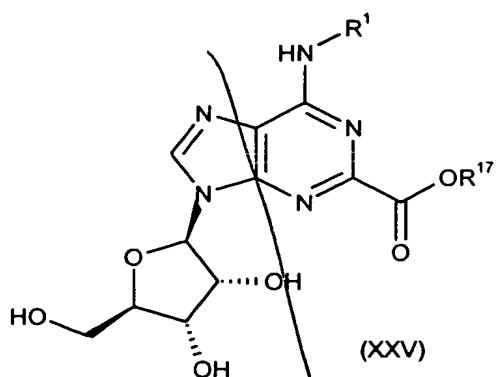
and A, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 1.

32. A compound of the formula:

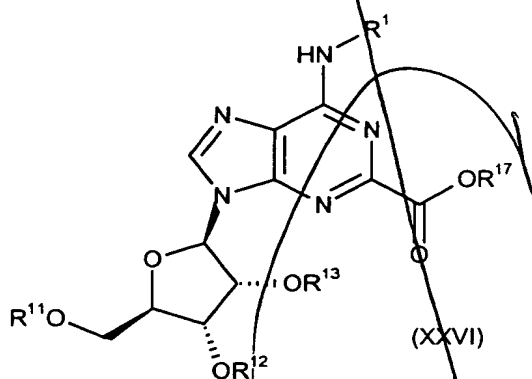
10



; or



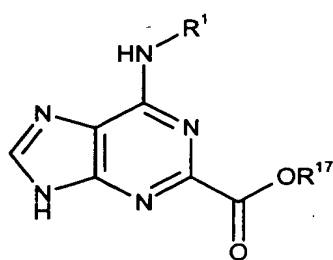
wherein R<sup>17</sup> is H or an ester-forming group; or



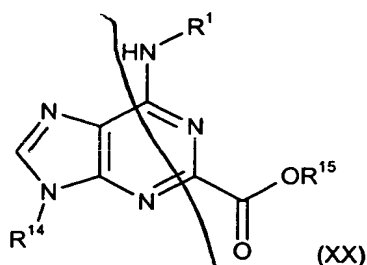
5

wherein R<sup>11</sup>, R<sup>12</sup> and R<sup>13</sup>, taken separately, are protecting groups, or R<sup>11</sup> is a protecting group and R<sup>12</sup> and R<sup>13</sup>, taken together, are a protecting group, and R<sup>17</sup> is an ester-forming group; or

10



wherein R<sup>17</sup> is an ester-forming group; or



wherein  $R^{14}$  is a protecting group and  $R^{15}$  is  $C_1$ - $C_4$  alkyl:

and  $R^1$  is  $C_1$ - $C_6$  alkyl optionally substituted by 1 or 2 substituents each

5 independently selected from phenyl and naphthyl, said phenyl and naphthyl being optionally substituted by  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy, halo or cyano.

33. A compound as claimed in any one of claims 31 and 32 wherein  $R^1$  is 2,2-diphenylethyl,  $R^2$  is H and/or  $-A-R^3$  is 2-(1-piperidinyl)ethyl.

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34. A compound of the formula (II) as claimed in claim 31 wherein X is iodo.

35. A compound of the formula (VI), (IX) or (X) as claimed in claim 31 wherein  $R^8$  and  $R^9$  when taken separately are each acetyl or benzoyl or when taken  
15 together are 1,1-dimethylmethylene.

36. A compound of the formula (IX) or (X) as claimed in claim 31 wherein  $R^{10}$  is a silyl protecting group, preferably t-butyldimethylsilyl or t-butyldiphenylsilyl.

20 37. A compound of the formula (XII) as claimed in claim 31 wherein  $R^{11}$ ,  $R^{12}$  and  $R^{13}$  when taken separately are each acetyl or benzoyl, or  $R^{12}$  and  $R^{13}$  when taken together are 1,1-dimethylmethylene.

38. A compound of the formula (XXI) or (XXII) as claimed in claim 31, or (XX)  
25 as claimed in claim 32, wherein  $R^{14}$  is tetrahydro-2H-pyran-2-yl.

39. A compound of the formula (XXV), (XXVI) or (XXVII) as claimed in claim 32 wherein  $R^{17}$  is  $C_1$ - $C_4$  alkyl, preferably methyl or ethyl.

40. A compound of the formula (XXVI) as claimed in claim 32 wherein  $R^{11}$ ,  $R^{12}$   
5 and  $R^{13}$  when taken separately are each acetyl or benzoyl, or  $R^{12}$  and  $R^{13}$  when taken together are 1,1-dimethylmethylene.

add  
PS